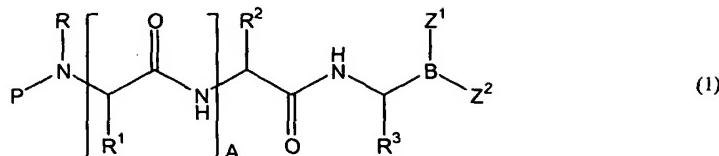


WHAT IS CLAIMED IS:

1. A compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R¹, R², and R³ are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH₂-R⁵;

R⁵, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R⁶, where W is a chalcogen and R⁶ is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R¹, R², R³, or R⁵ can be optionally substituted; and

Z¹ and Z² together form a moiety derived from sugar, wherein the atom attached to boron in each case is an oxygen atom.

2. The compound of claim 1, wherein the sugar is a monosaccharide or disaccharide.

3. The compound of claim 1, wherein the sugar is a reduced sugar.

4. The compound of claim 3, wherein the reduced sugar is mannitol or sorbitol.

5. The compound of claim 1, wherein A is 0.

6. The compound of claim 1, wherein Z¹ and Z² together form a moiety derived from mannitol.

7. The compound of claim 5, wherein Z¹ and Z² together form a moiety derived from mannitol.

8. The compound of claim 1, wherein P is R⁷-C(O)-, R⁷-S(O)₂-, R⁷-NH-C(O)-, or R⁷-O-C(O)-;

where R⁷ is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R⁷-C(O)- or R⁷-S(O)₂-, R⁷ can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

9. The compound of claim 8, wherein P is R⁷-C(O)- or R⁷-S(O)₂-, and R⁷ is an aromatic heterocycle.

10. The compound of claim 9, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

11. The compound of claim 8, wherein A is zero; R is hydrogen or C₁-C₈ alkyl; and R³ is C₁-C₆ alkyl.

12. The compound of claim 11, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

13. The compound of claim 12, wherein Z¹ and Z² together form a moiety derived from mannitol.

14. The compound of claim 1, wherein

R¹, R², and R³ are each independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₆-C₁₀ aryl, or -CH₂-R⁵;

R⁵ in each instance is C₆-C₁₀ aryl, (C₆-C₁₀)ar(C₁-C₆)alkyl, (C₁-C₆)alk(C₆-C₁₀)aryl, C₃-C₁₀ cycloalkyl, C₁-C₈ alkoxy, or C₁-C₈ alkylthio; wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R¹, R², R³, or R⁵ can be optionally substituted.

15. The compound of claim 1, wherein said compound is:

D-Mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate;

D-Mannitol N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate;

D-Mannitol N-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;

D-Mannitol N-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;

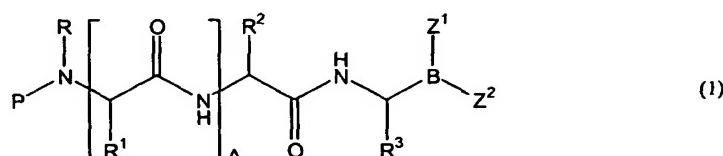
D-Mannitol N-(4-morpholine)carbonyl-(O-benzyl)-L-tyrosine-L-leucine boronate;

D-Mannitol N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate; or

D-Mannitol N-(4-morpholine)carbonyl-[O-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate.

16. The compound D-mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

17. A lyophilized compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R¹, R², and R³ are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH₂-R⁵;

R⁵, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R⁶, where W is a chalcogen and R⁶ is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R¹, R², R³, or R⁵ can be optionally substituted; and

Z¹ and Z² together form a moiety derived from sugar, wherein the atom attached to boron in each case is an oxygen atom.

18. The compound of claim 17, wherein the sugar is a monosaccharide or disaccharide.

19. The compound of claim 17, wherein the sugar is a reduced sugar.

20. The compound of claim 17, wherein A is 0.

21. The compound of claim 19, wherein the reduced sugar is mannitol or sorbitol.

22. The compound of claim 17, wherein Z¹ and Z² together form a moiety derived from mannitol.

23. The compound of claim 20, wherein Z¹ and Z² together form a moiety derived from mannitol.

24. The compound of claim 17, wherein P is R⁷-C(O)-, R⁷-S(O)₂-, R⁷-NH-C(O)-, or R⁷-O-C(O)-;

where R⁷ is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R⁷-C(O)- or R⁷-S(O)₂-, R⁷ can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

25. The compound of claim 24, wherein P is R⁷-C(O)- or R⁷-S(O)₂-, and R⁷ is an aromatic heterocycle.

26. The compound of claim 25, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

27. The compound of claim 24, wherein
A is zero;
R is hydrogen or C₁-C₈ alkyl; and
R³ is C₁-C₆ alkyl.

28. The compound of claim 27, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

29. The compound of claim 28, wherein Z¹ and Z² together form a moiety derived from mannitol.

30. The compound of claim 17, wherein
R¹, R², and R³ are each independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₆-C₁₀ aryl, or -CH₂-R⁵;
R⁵ in each instance is C₆-C₁₀ aryl, (C₆-C₁₀)ar(C₁-C₆)alkyl, (C₁-C₆)alk(C₆-C₁₀)aryl, C₃-C₁₀ cycloalkyl, C₁-C₈ alkoxy, or C₁-C₈ alkylthio;
wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R¹, R², R³, or R⁵ can be optionally substituted.

31. The compound of claim 25, wherein said compound is:
D-Mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate;

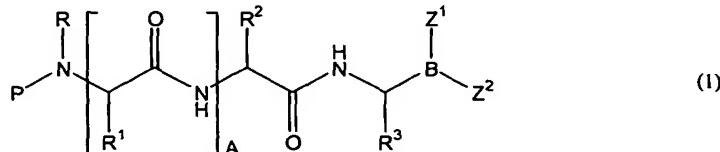
D-Mannitol *N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate;
D-Mannitol *N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl- β -(1-naphthyl)-L-alanine-L-leucine
boronate;
D-Mannitol *N*-(8-quinoline)sulfonyl- β -(1-naphthyl)-L-alanine-L-leucine
boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine
boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate; or
D-Mannitol *N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-
leucine boronate.

32. The lyophilized compound D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

33. The compound of claim 17, wherein the compound is stable at 0 °C for at least one month.

34. The compound of claim 17, wherein the compound is stable at 40 °C for at least one month.

35. A method of preparing a lyophilized compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R¹, R², and R³ are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH₂-R⁵;

R⁵ in each instance is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R⁶, where W is a chalcogen and R⁶ is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R¹, R², R³, or R⁵ can be optionally substituted; and

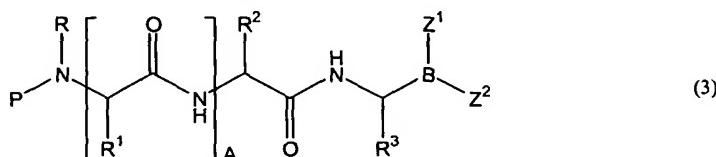
Z¹ and Z² are derived from a sugar moiety;

the method comprising:

(a) preparing a mixture comprising

(i) water,

(ii) a compound of formula (3)



wherein P, R, A, R¹, R², and R³ are as described above; and

Z¹ and Z² are OH; and

(iii) a moiety derived from sugar; and

(b) lyophilizing the mixture.

36. The method of claim 35, wherein the sugar is a monosaccharide or disaccharide.

37. The method of claim 35, wherein the sugar is a reduced sugar.

38. The method of claim 37, wherein the reduced sugar is mannitol or sorbitol.

39. The method of claim 38, wherein the reduced sugar is mannitol.

40. The method of claim 35, wherein Z¹ and Z² of formula (1) together form a moiety derived from mannitol.

41. The method of claim 35, wherein P is R⁷-C(O)-, R⁷-S(O)₂-, R⁷-NH-C(O)-, or R⁷-O-C(O)-;

where R⁷ is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R⁷-C(O)- or R⁷-S(O)₂-, R⁷ can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

42. The method of claim 41, wherein P is R⁷-C(O)- or R⁷-S(O)₂-, and R⁷ is an aromatic heterocycle.

43. The method of claim 42, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

44. The method of claim 35, wherein

A is zero;

R is hydrogen or C₁-C₆ alkyl; and

R³ is C₁-C₆ alkyl.

45. The method of claim 44, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

46. The method of claim 35, wherein

R¹, R², and R³ are each independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₆-C₁₀ aryl, or -CH₂-R⁵;

R⁵ in each instance is C₆-C₁₀ aryl, (C₆-C₁₀)ar(C₁-C₆)alkyl, (C₁-C₆)alk(C₆-C₁₀)aryl, C₃-C₁₀ cycloalkyl, C₁-C₈ alkoxy, or C₁-C₈ alkylthio; wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R¹, R², R³, or R⁵ can be optionally substituted.

47. The method of claim 35, wherein the compound of formula (3) is:
N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;
N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl- β -(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(8-quinoline)sulfonyl- β -(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or
N-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

48. The method of claim 35, wherein the compound of formula (1) is D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

49. The method of claim 47, wherein the compound of formula (3) is *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

50. The method of claim 35, wherein the mixture further comprises a water-miscible solvent.

51. The method of claim 50, wherein the water-miscible solvent is an alcohol.

52. The method of claim 51, wherein the alcohol is *tert*-butanol.

53. The method of claim 35, wherein the moiety derived from sugar and the compound of formula (3) are present in at least a 1:1 ratio.

54. The method of claim 35, wherein the moiety derived from sugar and the compound of formula (3) are present in at least a 5:1 ratio.

55. A lyophilized cake comprising the compound of claim 17.